

Claims 1-12, 14 and 17-21 were rejected under 35 USC 112, second paragraph.

Claims 17 and 18 were rejected under 35 USC 112, first paragraph.

Remarks

Claims 1-4, 12, 17 and 18 were rejected under 35 USC 102(b) over WO 97/16452. Applicants request reconsideration and withdrawal of this rejection for the reasons that follow.

In order to overcome this rejection, Applicants have disclaimed the compound disclosed in Example 107 (i.e., 6-(4-benzyloxycarbonylamino-phenyl-amino)-9-ethyl-2-(2-hydroxy-ethyl-amino)-9H-purine) of WO 97/16452 in the claims covering this compound. At the same time, Applicants have included new claim 22 corresponding to amended claim 1 with the only exception that in new claim 22, Example 107 of WO 97/16452 is excluded from the scope by the deletion of "hydroxy" from the list defining the substituents for a substituted hydrocarbon radical R₄.

Applicants further point out that even though 6-(4-benzyloxycarbonylamino-phenyl-amino)-9-ethyl-2-(2-hydroxy-ethyl-amino)-9H-purine is disclosed in Example 107 of WO 97/16452, said compound is not embraced by the generic formula I of WO 97/16452. Since WO 97/16452 teaches only that the compounds of formula I – which does not include Example 107 – have the advantageous biological activities described therein, WO 97/16452 makes no statement with regard to the utility or biological activity of 6-(4-benzyloxycarbonylamino-phenyl-amino)-9-ethyl-2-(2-hydroxy-ethyl-amino)-9H-purine.

Applicants request reconsideration and withdrawal of the rejection under 35 USC 102(b) for the reasons discussed above.

Claims 5 and 21 were rejected under 35 U.S.C. 103(a) over WO 97/16452. Reconsideration and withdrawal of this rejection are requested for the reasons that follow.

The Office action states that claim 5 has R₅ = Hydroxycycloalkyl and that such a variant is taught as preferred by WO 97/16452 on page 14, line 9, and that also examples appear in WO 97/16452 (e.g. examples 65 and 69). However, the compounds of the present invention differ from the compounds of formula I of WO 97/16452 in the definition of R₁. In order for a rejection under 35

USC 103 to be proper, the prior art must suggest or otherwise motivate the skilled artisan to make the invention. In this instance, WO 97/16452 provides no suggestion or other motivation for one of skill to modify the reference's compounds of formula I as required to arrive at the compounds of claim 5. Therefore, the disclosure of WO 97/16452 is not sufficient to render claim 5 unpatentable under 35 USC 103.

Likewise, WO 97/16452 provides no suggestion that the compounds of claim 21 would be useful intermediates for the preparation of biologically active compounds.

Accordingly, Applicants request withdrawal of the rejections under 35 USC 103(a).

In response to the rejections under 35 USC 112, second paragraph, Applicants respond to the numbered paragraphs in the Office action as follows:

- 1./2. Claim 12 has been deleted.
3. "Derivative" has been replaced by the term "compound".
4. "Substituted" has been replaced by the corresponding meanings given in the description/claims. In particular:
 - (i) for "substituted" alkylene or alkenylene radical $R_6/R_7 \rightarrow$ page 7, 2nd paragraph
 - (ii) for "substituted" $R_9 \rightarrow$ page 9, 2nd paragraph (for the meanings "lower alkyl" and "lower alkyl substituted by halogen" see e.g. Examples 94 and 103, respectively)
 - (iii) for "substituted" [aliphatic] hydrocarbon radical having not more than 29 C atoms R_4 or $R_5 \rightarrow$ meaning given in claim 4
 - (iv) for "substituted" alkylene or alkenylene radical $R_4/R_5 \rightarrow$ page 20, 1st paragraph

Please also note that the term "substituted" has been deleted from the R_4 and R_5 meanings "substituted carbocyclic or carbocyclic-aliphatic radical having not more than 29 C atoms" for clarity reasons \rightarrow see definitions given on page 16 (last paragraph) to page 17 (1st paragraph) and on page 17, 3rd paragraph

5. The term "heterocyclic" has not been replaced in the claims. Applicants assert that this expression has a definite meaning to one of skill in the art.
6. "Aliphatic" does not embrace aliphatic acyl according to the definition given for an aliphatic radical R_6 or R_7 on page 3, 1st paragraph versus the definition given for lower aliphatic acyl R_6 or R_7 on page 6 (last paragraph) to page 7 (1st paragraph).

7. "Lower aliphatic radical" has been replaced by "lower alkyl radical" in the definition of R₃. The basis for this is to be found on page 10, 1st paragraph. Further, the term "aliphatic" has been deleted from the R₄ and R₅ meanings "aliphatic hydrocarbon radical having not more than 29 C atoms" in claims 1-4 for clarity reasons.
8. It is clear from the wording that "29 C atoms" refers to the total number of C atoms in the substituent.
9. "Carbocyclic-aliphatic" is not covered by "carbocyclic" → see definitions given in the description on page 4, 2nd paragraph and page 5, 4th paragraph. Please note that "aryl substituted by alkoxy" is included by the definition of a carbocyclic radical R₆ or R₇ given on page 4, 2nd, since it allows the carbocyclic radical to carry acyclic substituents.
The same applies to carbocyclic or carbocyclic-aliphatic radicals R₄ or R₅ → see definitions on pages 16 and 17, 3rd paragraphs.
10. The term "acyl" as used in the present application is not indefinite.
From the definition and the preferred embodiments given for lower aliphatic acyl R₆ or R₇ on page 6 (last paragraph) to page 7 (1st paragraph), it is clear that a radical RC(O) is meant.
From the definition given for acyl R₄ or R₅ on page 10, 2nd paragraph, it is clear that carboxylic acyl analogues, such as e.g. RC(S) or RC(NH), are also included.
11. The R₅ definition of claim 3 has been clarified.
12. The term "hydrocarbyl R°" is not mistaken → see definition on page 10, 3rd paragraph
13. The term "thio" has been deleted.
14. "Piperidino" is a well-known term for "1-piperidyl".
15. Applicants assert that the meaning the expression "tumor disease" is definite to one of skill in the art. Therefore, a rejection under 35 USC 112, second paragraph, is improper.
16. The moiety has been deleted even though it should be clear to the skilled person that "6-amino-1,3-dihydro-[1,2,5]oxadiazolo[3,4-b]pyrazin-5-yl" was intended.
17. The two substituents have been deleted.
18. The definitions in the claim 4 R₄-R₅ combined list that spans pages 77-78 are permitted choices, and hence claim 4 is not improperly dependent on claim 1 → see definition given on page 20, 1st paragraph, which has been incorporated into claim 1.
19. The definition of R₁₀ in formula II of claim 8 is permitted → see definition given on page 7, 2nd paragraph, which has been incorporated into claim 1.
20. The expression "chinoliny" - which is the German spelling for "quinoliny" - has been replaced by quinoliny.

21. It is clear from the semicolons that in claims 9 and 10 the expression "and which radical is unsubstituted or substituted by ..." refers back to either the heteroaryl alkynyl or heterocyclyl alkynyl radical R₉ and not to the whole radical -NH-C(=O)-R₉. This is further also clear from the fact that claims 9 and 10 are dependent on claim 1 and can therefore not be broader than claim 1.

22. The substituents specifically referred to by the Examiner are named correctly. Regarding the Examiner's statement that all the species should be checked for their correct naming, the Examiner should exactly state which compound(s) are believed to be ambiguous and for what reasons.

22./23. The expression "or, in accordance with the principle of latent functionality, being in a form which can be converted into the functional groups" has been deleted from claim 19.

24. Claims 20 and 21 have been amended so that they are no longer dependent on claim 1.

25. The disorder to be treated has been included in claims 17 and 18.

Applicants request reconsideration and withdrawal of the rejections under 35 USC 112, second paragraph, in view of the discussion above.

Claims 17 and 18 were rejected under 35 USC 112, first paragraph. Applicants believe that the amendments to claims 17 and 18 overcome these rejections.

Claim 17 was separately rejected under 35 USC 112, first paragraph. The Examiner asserts that no compound is known to treat all cancers and therefore the claim is not enabled. However, Applicants assert that the present disclosure characterizes the activity of the present compounds sufficiently to permit one of skill in the art to understand which tumors to treat with the inventive compounds. See, pages 22-24 and 47 of the specification. Thus, the skilled artisan is enabled by the present disclosure to treat tumor diseases with the inventive compounds.

For the reasons discussed above, Applicants request withdrawal of the rejection of claim 17 under 35 USC 112, first paragraph.

Three references submitted with the Information Disclosure Statement dated December 31, 2001, were struck – allegedly for being incomplete. Applicants submit a new Information Disclosure Statement with complete copies of the cited publications.

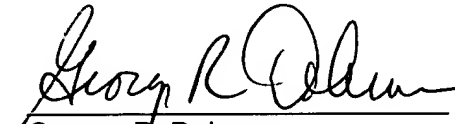
There are now 4 independent claims. However, Applicants believe that no additional fee is due because the filing fee was based on 4 independent claims. However, the Commissioner is

hereby authorized to charge any fees under 37 CFR 1.16 & 1.17 that may be required in connection with this application, or deposit any overpayment, to Deposit Account No. 19-0134 in the name of Novartis Corporation.

Entry of this amendment and reconsideration and allowance of the claims is respectfully requested.

Respectfully submitted,

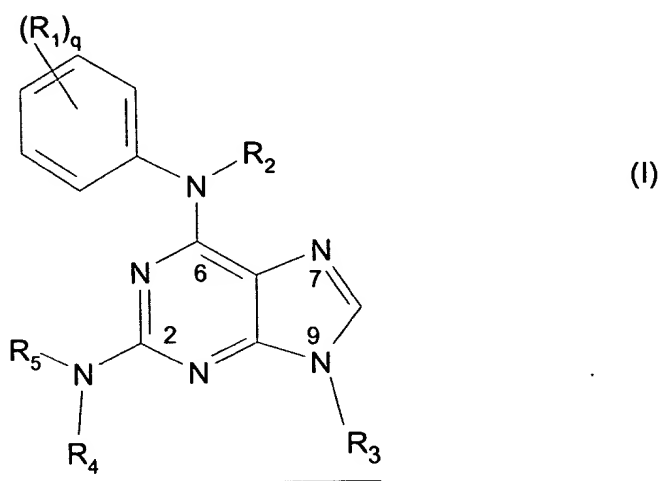
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Date: June 21, 2002

Appendix – Marked-up Version of the Amended Claims

1. (once amended) A ~~2-amino-6-anilino-purine derivative~~ compound of the formula I



wherein

q is 1-5,

R₁ is

□) -S(=O)_k-NR₆R₇, in which

k is 1 or 2,

wherein under the proviso that R₆ and R₇ cannot be simultaneously hydrogen

□i) R₆, R₇ can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; hydrogen or lower aliphatic acyl; or

□□) R₆ and R₇ together are an substituted or unsubstituted alkylene or alkenylene radical having from 3 up to and including 9 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, wherein said alkylene or alkenylene radical can be unsubstituted or substituted by halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl or a radical -(CH₂)_y-R₁₀, in which y is 0 to 3, preferably 0 to 2, and R₁₀ is hydrogen or phenyl, which is unsubstituted or substituted by halogen, halogen lower alkyl, lower alkoxy, hydroxy, lower alkoxycarbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy or cyano, or

□) N-(aryl lower alkyl)carbamoyl, or

□) a radical of the formula -NH-S(=O)_i-R₈, in which

i is 1 or 2,

R₈ is an aliphatic, carbocyclic or heterocyclic radical; or

□) a radical of the formula -NH-C(=O)-R₉, in which

R₉ is alkoxy, aryloxy, alkenyl, alkynyl, heterocyclyl alkynyl, aryl alkynyl, heteroaryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted, the substituents being selected from the group consisting of halogen, hydroxy, phenyl, lower alkyl, lower alkoxy, lower alkoxycarbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy, tri-lower alkyl silyl, cyano or lower alkyl which is substituted by halogen, wherein such an unsubstituted or substituted R₉ radical has not more than 20 C atoms;

where, if more than one radical R_1 is present in the molecule, these can be identical or different from one another,

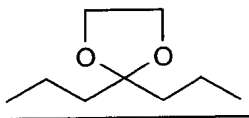
R_2 is hydrogen, carbamoyl or N-lower alkyl-carbamoyl,

R_3 is a lower aliphatic radical lower alkyl, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower alkylamino or N,N-di-lower alkylamino and

a) R_4 is hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, a substituted aliphatic hydrocarbon radical having not more than 29 C atoms, a substituted carbocyclic or carbocyclic-aliphatic radical having not more than 29 C atoms, or a heterocyclic or heterocyclic-aliphatic radical having not more than 20 C atoms and not more than 9 heteroatoms, or a substituted hydrocarbon radical having not more than 29 C atoms wherein the substituents are selected from halogen, amino, lower alkylamino, \square -amino-lower alkylamino, lower alkanoylamino, benzoylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, phenyloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N- \square -amino-lower alkyl)-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxycarbonyl, phenyloxycarbonyl, benzyloxycarbonyl, hydroxylaminocarbonyl, carbamoyl, amidino, cyano, hydroxyl, lower alkoxy, phenyloxy, aminocarbonyl-oxy, oxo, aminosulfonyl, lower alkylsulfonyl-amino, glycylamino, alanyl-amino, phenylalanyl-amino, prolyl-amino, valyl-amino, leucyl-amino, isoleucyl-amino, seryl-amino, threonyl-amino, cysteinyl-amino, methionyl-amino, tyrosyl-amino, tryptophanyl-amino, arginyl-amino, histidyl-amino, lysyl-amino, glutamyl-amino, glutaminyl-amino, asparagyl-amino, asparaginyl-amino and phenylglycyl-amino; -and

R_5 , independently of R_4 , is as defined above for R_4 , with the exception of hydrogen, or

b) R_4 and R_5 together are a substituted or unsubstituted alkylene or alkenylene radical having in each case not more than 15 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, 1,2-ethylene, propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl, 3-(3-amino-propionyl)-3-aza-pentane-1,5-diyl, 2-amino-butane-1,4-diyl, 1-aminomethyl-butane-1,4-diyl, 1-hydroxymethyl-butane-1,4-diyl, 3-hydroxy-pentane-1,5-diyl, 1-hydroxy-hexane-1,5-diyl, 3-(2-amino-ethyl)-pentane-1,5-diyl, 3-aza-pentane-1,5-diyl (-CH₂-CH₂-NH-CH₂-CH₂-), 3-aza-2,4-dimethyl-pentane-1,5-diyl (-CH₂-CH[CH₃]-NH-CH[CH₃]-CH₂-), 3-amino-3-aza-pentane-1,5-diyl (-CH₂-CH₂-N[NH₂]-CH₂-CH₂-), 1-aza-pentane-1,5-diyl, 1-aza-1-toluylamino-carbonyl-pentane-1,5-diyl, 1-aza-1-(methylamino-thiocarbonyl)-pentane-1,5-diyl, 1-aza-1-(tert-butylamino-carbonyl)-pentane-1,5-diyl, 1-aza-1-(cyclohexylamino-carbonyl)-pentane-1,5-diyl, 3-aza-1-hydroxy-heptane-3,7-diyl, 3-aza-1-cyano-heptane-3,7-diyl, 1-amino-3-aza-heptane-3,7-diyl, 3-(2-amino-ethyl)-3-aza-pentane-1,5-diyl (-CH₂-CH₂-N[-CH₂-CH₂-NH₂]-CH₂-CH₂-), 1-carbamoyl-butane-1,4-diyl, 2-formylamino-pentane-1,4-diyl, 2-aza-butadiene-1,4-diyl (-CH=CH-N=CH-), 2-aza-3-hydroxymethyl-butadiene-1,4-diyl (-CH=C[CH₂OH]-N=CH-), 2-Aza-1-hydroxy-1-(4-methoxy-phenyl-amino)-heptane-2,7-diyl {-(CH₂)₄-N[-CH(OH)-NH-C₆H₄-OCH₃]-} or a radical of the formula



in which the two terminal bonds of the alkylene chain are free valencies,

or a salt thereof, with the exception of 6-(4-benzyloxycarbonylamino-phenyl-amino)-9-ethyl-2-(2-hydroxy-ethyl-amino)-9H-purine or a salt thereof.

2. (once amended) A compound of the formula I according to claim 1, wherein

q is 1-5,

R_1 is

\square) -S(=O)_k-NR₆R₇, in which

k is 1 or 2,

wherein under the proviso that R_6 and R_7 cannot be simultaneously hydrogen

- i) R_6 , R_7 can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; hydrogen or lower aliphatic acyl; or
-) R_6 and R_7 together are an substituted or unsubstituted alkylene or alkenylene radical having from 3 up to and including 9 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, wherein said alkylene or alkenylene radical can be unsubstituted or substituted by halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl or a radical $-(CH_2)_y-R_{10}$, in which y is 0 to 3, preferably 0 to 2, and R_{10} is hydrogen or phenyl, which is unsubstituted or substituted by halogen, halogen lower alkyl, lower alkoxy, hydroxy, lower alkoxy-carbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy or cyano, or
-) N-(aryl lower alkyl)carbamoyl, or
-) a radical of the formula $-NH-S(=O)_i-R_8$, in which i is 1 or 2, R_8 is an aliphatic, carbocyclic or heterocyclic radical; or
-) a radical of the formula $-NH-C(=O)-R_9$, in which R_9 is alkoxy, aryloxy, alkenyl, alkynyl, aryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted, the substituents being selected from the group consisting of halogen, hydroxy, phenyl, lower alkyl, lower alkoxy, lower alkoxy-carbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy, tri-lower alkyl silyl, cyano or lower alkyl which is substituted by halogen, wherein such an unsubstituted or substituted R_9 radical has not more than 20 C atoms;

where, if more than one radical R_1 is present in the molecule, these can be identical or different from one another,

R_2 is hydrogen, carbamoyl or N-lower alkyl-carbamoyl,

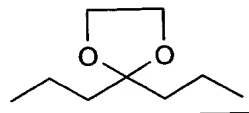
R_3 is a ~~lower aliphatic radical~~ lower alkyl, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower alkylamino or N,N-di-lower alkylamino and

a) R_4 is hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, ~~a substituted aliphatic hydrocarbon radical having not more than 29 C atoms, a substituted a carbocyclic or carbocyclic-aliphatic radical having not more than 29 C atoms, or a heterocyclic or heterocyclic-aliphatic radical having not more than 20 C atoms and not more than 9 heteroatoms, or a substituted hydrocarbon radical having not more than 29 C atoms wherein the substituents are selected from halogen, amino, lower alkylamino, □-amino-lower alkylamino, lower alkanoylamino, benzoylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, phenyloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N-[□-amino-lower alkyl]-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxy-carbonyl, phenyloxy-carbonyl, benzyloxy-carbonyl, hydroxylaminocarbonyl, carbamoyl, amidino, cyano, hydroxyl, lower alkoxy, phenyloxy, aminocarbonyl-oxy, oxo, aminosulfonyl, lower alkylsulfonyl-amino, glycylamino, alanyl-amino, phenylalanyl-amino, prolylamino, valylamino, leucylamino, isoleucylamino, serylamino, threonylamino, cysteinylamino, methionylamino, tyrosylamino, tryptophanyl-amino, arginylamino, histidylamino, lysylamino, glutamylamino, glutaminylamino, asparagylamino, asparaginylamino and phenylglycylamino; and~~

R_5 , independently of R_4 , is as defined above for R_4 , with the exception of hydrogen, or

b) R_4 and R_5 together are a ~~substituted or unsubstituted alkylene or alkenylene radical having in each case not more than 15 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, 1,2-ethylene, propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl, 3-(3-amino-propionyl)-3-aza-pentane-1,5-diyl, 2-amino-butane-1,4-diyl, 1-aminomethyl-butane-1,4-diyl, 1-~~

hydroxymethyl-butane-1,4-diyl, 3-hydroxy-pentane-1,5-diyl, 1-hydroxy-hexane-1,5-diyl, 3-(2-amino-ethyl)-pentane-1,5-diyl, 3-aza-pentane-1,5-diyl (-CH₂-CH₂-NH-CH₂-CH₂-), 3-aza-2,4-dimethyl-pentane-1,5-diyl (-CH₂-CH[CH₃]-NH-CH[CH₃]-CH₂-), 3-amino-3-aza-pentane-1,5-diyl (-CH₂-CH₂-N[NH₂]-CH₂-CH₂-), 1-aza-pentane-1,5-diyl, 1-aza-1-toluylaminocarbonyl-pentane-1,5-diyl, 1-aza-1-(methylamino-thiocarbonyl)-pentane-1,5-diyl, 1-aza-1-(tert-butylamino-carbonyl)-pentane-1,5-diyl, 1-aza-1-(cyclohexylamino-carbonyl)-pentane-1,5-diyl, 3-aza-1-hydroxy-heptane-3,7-diyl, 3-aza-1-cyano-heptane-3,7-diyl, 1-amino-3-aza-heptane-3,7-diyl, 3-(2-amino-ethyl)-3-aza-pentane-1,5-diyl (-CH₂-CH₂-N[-CH₂-CH₂-NH₂]-CH₂-CH₂-), 1-carbamoyl-butane-1,4-diyl, 2-formylamino-pentane-1,4-diyl, 2-aza-butadiene-1,4-diyl (-CH=CH-N=CH-), 2-aza-3-hydroxymethyl-butadiene-1,4-diyl (-CH=C[CH₂OH]-N=CH-), 2-Aza-1-hydroxy-1-(4-methoxy-phenyl-amino)-heptane-2,7-diyl {-(CH₂)₄-N[-CH(OH)-NH-C₆H₄-OCH₃]-} or a radical of the formula



in which the two terminal bonds of the alkylene chain are free valencies,
or a salt thereof, with the exception of 6-(4-benzyloxycarbonylamino-phenyl-amino)-9-ethyl-2-(2-hydroxy-ethyl-amino)-9H-purine or a salt thereof.

3. (once amended) A compound of the formula I according to claim 1, wherein
q is 1-5,

R₁ is

□) -S(=O)_k-NR₆R₇, in which

k is 1 or 2,

wherein under the proviso that R₆ and R₇ cannot be simultaneously hydrogen

□i) R₆, R₇ can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; hydrogen or lower aliphatic acyl; or

□□) R₆ and R₇ together are an substituted or unsubstituted alkylene or alkenylene radical having from 3 up to and including 9 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, wherein said alkylene or alkenylene radical can be unsubstituted or substituted by halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl or a radical -(CH₂)_y-R₁₀, in which y is 0 to 3, preferably 0 to 2, and R₁₀ is hydrogen or phenyl, which is unsubstituted or substituted by halogen, halogen lower alkyl, lower alkoxy, hydroxy, lower alkoxycarbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy or cyano;

□) N-(aryl lower alkyl)carbamoyl, or

□) a radical of the formula -NH-S(=O)_i-R₈, in which

i is 1 or 2,

R₈ is an aliphatic, carbocyclic or heterocyclic radical; or

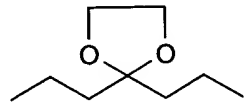
□) a radical of the formula -NH-C(=O)-R₉, in which

R₉ is alkoxy, aryloxy, alkenyl, alkynyl, heterocyclyl alkynyl, aryl alkynyl, heteroaryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted, the substituents being selected from the group consisting of halogen, hydroxy, phenyl, lower alkyl, lower alkoxy, lower alkoxycarbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy, tri-lower alkyl silyl, cyano or lower alkyl which is substituted by halogen, wherein such an unsubstituted or substituted R₉ radical has not more than 20 C atoms;

where, if more than one radical R₁ is present in the molecule, these can be identical or different from one another,

- a) R_4 is, in cases where R_1 is selected from \square hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, a substituted aliphatic hydrocarbon radical having not more than 29 C atoms, a substituted carbocyclic or carbocyclic-aliphatic radical having not more than 29 C atoms, or a heterocyclic or heterocyclic-aliphatic radical having not more than 20 C atoms and not more than 9 heteroatoms, or a substituted hydrocarbon radical having not more than 29 C atoms wherein the substituents are selected from halogen, amino, lower alkylamino, \square -amino-lower alkylamino, lower alkanoylamino, benzoylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, phenyloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N- \square -amino-lower alkyl)-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxycarbonyl, phenyloxycarbonyl, benzyloxycarbonyl, hydroxylaminocarbonyl, carbamoyl, amidino, cyano, hydroxyl, lower alkoxy, phenyloxy, aminocarbonyl-oxy, oxo, aminosulfonyl, lower alkylsulfonyl-amino, glycylamino, alanyl-amino, phenylalanyl-amino, prolylamino, valylamino, leucylamino, isoleucylamino, serylamino, threonylamino, cysteinylamino, methionylamino, tyrosylamino, tryptophanyl-amino, arginylamino, histidylamino, lysylamino, glutamylamino, glutaminylamino, asparagylamino, asparaginylamino and phenylglycylamino; in case of \square as defined above and
- R_4 is, in cases where R_1 is selected from \square , \square and \square hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, a substituted aliphatic hydrocarbon radical having not more than 29 C atoms, a substituted cycloaliphatic or carbocyclic-aliphatic radical having not more than 29 C atoms, or a heterocyclic or heterocyclic-aliphatic radical having not more than 20 C atoms and not more than 9 heteroatoms, or a substituted hydrocarbon radical having not more than 29 C atoms wherein the substituents are selected from halogen, amino, lower alkylamino, \square -amino-lower alkylamino, lower alkanoylamino, benzoylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, phenyloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N- \square -amino-lower alkyl)-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxycarbonyl, phenyloxycarbonyl, benzyloxycarbonyl, hydroxylaminocarbonyl, carbamoyl, amidino, cyano, hydroxyl, lower alkoxy, phenyloxy, aminocarbonyl-oxy, oxo, aminosulfonyl, lower alkylsulfonyl-amino, glycylamino, alanyl-amino, phenylalanyl-amino, prolylamino, valylamino, leucylamino, isoleucylamino, serylamino, threonylamino, cysteinylamino, methionylamino, tyrosylamino, tryptophanyl-amino, arginylamino, histidylamino, lysylamino, glutamylamino, glutaminylamino, asparagylamino, asparaginylamino and phenylglycylamino; in cases of \square , \square and \square as defined above; and
- R_5 is, with the exception of hydrogen and independently of R_4 , in case of \square as defined above for R_4 in case of \square and in cases of \square , \square and \square as defined above for R_4 in cases of \square , \square and \square independently of R_4 , is as defined above for R_4 , with the exception of hydrogen or
- b) R_4 and R_5 together are a substituted or unsubstituted alkylene or alkenylene radical having in each case not more than 15 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen 1,2-ethylene, propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl, 3-(3-amino-propionyl)-3-aza-pentane-1,5-diyl, 2-amino-butane-1,4-diyl, 1-aminomethyl-butane-1,4-diyl, 1-hydroxymethyl-butane-1,4-diyl, 3-hydroxy-pentane-1,5-diyl, 1-hydroxy-hexane-1,5-diyl, 3-(2-amino-ethyl)-pentane-1,5-diyl, 3-aza-pentane-1,5-diyl (-CH₂-CH₂-NH-CH₂-CH₂-), 3-aza-2,4-dimethyl-pentane-1,5-diyl (-CH₂-CH[CH₃]-NH-CH[CH₃]-CH₂-), 3-amino-3-aza-pentane-1,5-diyl (-CH₂-CH₂-N[NH₂]-CH₂-CH₂-), 1-aza-pentane-1,5-diyl, 1-aza-1-toluylaminocarbonyl-pentane-1,5-diyl, 1-aza-1-(methylamino-thiocarbonyl)-pentane-1,5-diyl, 1-aza-1-(tert-butylamino-carbonyl)-pentane-1,5-diyl, 1-aza-1-(cyclohexylamino-carbonyl)-pentane-1,5-diyl, 3-aza-1-hydroxy-heptane-3,7-diyl, 3-aza-1-cyano-heptane-3,7-diyl, 1-amino-3-aza-heptane-3,7-diyl, 3-

(2-amino-ethyl)-3-aza-pentane-1,5-diyl (-CH₂-CH₂-N[-CH₂-CH₂-NH₂]-CH₂-CH₂-), 1-carbamoyl-butane-1,4-diyl, 2-formylamino-pentane-1,4-diyl, 2-aza-butadiene-1,4-diyl (-CH=CH-N=CH-), 2-aza-3-hydroxymethyl-butadiene-1,4-diyl (-CH=C[CH₂OH]-N=CH-), 2-Aza-1-hydroxy-1-(4-methoxy-phenyl-amino)-heptane-2,7-diyl {-(CH₂)₄-N[-CH(OH)-NH-C₆H₄-OCH₃]-} or a radical of the formula



in which the two terminal bonds of the alkylene chain are free valencies; or
R₂ is hydrogen, carbamoyl or N-lower alkyl-carbamoyl, and
R₃ is a lower aliphatic radical lower alkyl, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower alkylamino or N,N-di-lower alkylamino,
or a salt thereof, with the exception of 6-(4-benzyloxycarbonylamino-phenyl-amino)-9-ethyl-2-(2-hydroxy-ethyl-amino)-9H-purine or a salt thereof.

4. (once amended) A compound of the formula I according to claim 1, wherein

q is 1-3,

R₁ is

□) -S(=O)_k-NR₆R₇, in which

k is 2,

wherein under the proviso that R₆ and R₇ cannot be simultaneously hydrogen

□) R₆, R₇ can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; or hydrogen; or

□□) R₆ and R₇ together are an substituted or unsubstituted alkylene or alkenylene radical having from 3 up to and including 9 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, wherein said alkylene or alkenylene radical can be unsubstituted or substituted having in each case including the substituents not more than 20 C atoms, the substituents being selected from halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl or a radical -(CH₂)_y-R₁₀, in which y is 0 to 3, preferably 0 to 2, and R₁₀ is hydrogen or phenyl, which is unsubstituted or substituted by halogen, halogen lower alkyl, lower alkoxy, hydroxy, lower alkoxycarbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy or cyano, having in each case including the substituents not more than 20 C atoms, or

□) N-(aryl lower alkyl)carbamoyl, or

□) a radical of the formula -NH-S(=O)_i-R₈, in which

i is 2, and

R₈ is an aliphatic, carbocyclic or heterocyclic radical; or

□) a radical of the formula -NH-C(=O)-R₉, in which

R₉ is alkoxy, aryloxy, alkynyl, heterocycl alkynyl, aryl alkynyl, heteroaryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted, the substituents being selected from the group consisting of halogen, hydroxy, phenyl, lower alkyl, lower alkoxy, lower alkoxycarbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy, tri-lower alkyl silyl, cyano or lower alkyl which is substituted by halogen, wherein such an unsubstituted or substituted R₉ radical has not more than 20 C atoms;

where, if more than one radical R₁ is present in the molecule, these can be identical or different from one another,

R₂ is hydrogen,

R₃ is a lower alkyl,

R₄ is

hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy or lower alkoxy; an acyl radical of the part formula Z-C(=W)-, in which W is oxygen, sulfur or imino and Z is hydrogen, hydrocarbyl R^o, hydrocarbyloxy R^o-O- or an amino group of the formula R₁₁(R₁₂)N-, in which R^o in each case is C₁-C₄alkyl, hydroxy-C₂-C₁₄alkyl, cyano-C₁-C₄alkyl, carboxy-C₁-C₄alkyl, C₁-C₄alkoxycarbonyl-C₁-C₄alkyl, C₃-C₇alkenyl or phenyl and R₁₁ and R₁₂ independently of one another are each hydrogen, lower alkyl, \square -amino-lower alkyl, lower alkylsulfonyl or phenyl;

an aliphatic substituted hydrocarbon radical having not more than 29 C atoms, which is substituted by wherein the substituents are selected from halogen, amino, lower alkylamino, \square -amino-lower alkylamino, lower alkanoylamino, benzoylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, phenyloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N- \square -amino-lower alkyl)-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, thio-, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxycarbonyl, phenyloxycarbonyl, benzyloxycarbonyl, hydroxylaminocarbonyl, carbamoyl, amidino, cyano, hydroxyl, lower alkoxy, phenyloxy, aminocarbonyl-oxy, oxo, aminosulfonyl, lower alkylsulfonyl-amino, glycyllamino, alanyl-amino, phenylalanyl-amino, prolyl-amino, valyl-amino, leucyl-amino, isoleucyl-amino, seryl-amino, threonyl-amino, cysteinyl-amino, methionyl-amino, tyrosyl-amino, tryptophanyl-amino, arginyl-amino, histidyl-amino, lysyl-amino, glutamyl-amino, glutaminyl-amino, asparagyl-amino, asparaginyl-amino or and phenylglycyl-amino;

benzyl, 2-phenyl-ethyl, 3-aminomethyl-benzyl, (1-hydroxy-cyclohex-1-yl)-methyl, (2-amino-3,5,5-trimethyl-cyclopentyl)-methyl, 1-[N-(1-carboxy-2-phenyl-ethyl)-carbamoyl]-2-carbamoyl-ethyl-1-yl, 1-carbamoyl-1-phenyl-methyl, 1-carbamoyl-2-(4-hydroxy-phenyl)-ethyl-1-yl, 1-carbamoyl-2-phenyl-ethyl-1-yl, 2-amino-1,2-diphenyl-ethyl-1-yl, 2-benzyloxycarbonyl-1-carbamoyl-ethyl-1-yl, 3-benzyloxycarbonyl-1-carbamoyl-prop-1-yl, 1-adamantyl-2-amino-prop-1-yl, 1-adamantyl-1-amino-prop-2-yl,

(2-furyl)-methyl, (2-tetrahydrofuryl)-methyl, 2-pyrid-2-yl-ethyl, 2-piperidino-ethyl, 2-(morpholin-4-yl)-ethyl, 2-(3-indolyl)-ethyl, 2-(4-imidazolyl)-ethyl, 1-carbamoyl-2-(\square -indolyl)-ethyl-1-yl, 1-carbamoyl-2-imidazol-4-yl-ethyl-1-yl, 1-carbamoyl-2-indol-3-yl-ethyl-1-yl, 3-aminomethyl-oxetan-3-yl-methyl, 1-(acetoxymino)-1-(4-amino-2-oxa-1,3-diazol-5-yl)-methyl,

C₄-C₈cycloalkyl, which is substituted by carboxy, thiocarboxy, lower alkoxycarbonyl, hydrazinocarbonyl, hydroxaminocarbonyl, amidino, sulfamoyl, sulfanyl, halogen, cyano, formyl, amino, hydroxy, lower alkoxy, lower aliphatic acyl, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, aminocarbonyloxy or ureido;

2-aminomethyl-3,3,5-trimethyl-cyclopent-1-yl, 3-amino-adamantan-1-yl, 2-carbamoyl-bicyclo[2.2.1]hept-5-en-3-yl, 9-amino-spiro[4.4]non-1-yl,

5-amino-2-oxa-1,3-diazol-4-yl, 4-amino-thien-3-yl, 3-carbamoyl-5-(3-[2,4-dichloro-phenyl]-1-oxo-prop-2-en-1-yl)-1,2-thiazol-4-yl, 3-carbamoyl-5-(3-[4-trifluoro-phenyl]-1-oxo-prop-2-en-1-yl)-1,2-thiazol-4-yl, 4-amino-2-(4-carboxy-butyl)-tetrahydrothiophen-3-yl; or 3-amino-2-(4-carboxy-butyl)-tetrahydrothiophen-4-yl, [1,2,5]oxadiazolo[3,4-b]pyrazin-5-yl, 2,5'-diacetyl-3-amino-thieno[2,3-b]thiophen-4'-yl or 3-amino-2,5'-dipivaloyl-thieno[2,3-b]thiophen-4'-yl, and

R₅, independently of R₄, is as defined above for R₄, with the exception of hydrogen, or R₄ and R₅ together are 1,2-ethylene, propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl, 3-(3-amino-propionyl)-3-aza-pentane-1,5-diyl, 1-aminomethyl-butane-1,4-diyl, 1-hydroxy-methyl-butane-1,4-diyl, 3-(2-amino-ethyl)-pentane-1,5-diyl, 3-aza-pentane-1,5-diyl or 3-(2-amino-ethyl)-3-aza-pentane-1,5-diyl,

or a salt thereof, with the exception of 6-(4-benzyloxycarbonylamino-phenyl-amino)-9-ethyl-2-(2-hydroxy-ethyl-amino)-9H-purine or a salt thereof.

5. (once amended) A compound of the formula I according to claim 1, wherein q is 1-3,

R₁ is

□) -S(=O)_k-NR₆R₇, in which

k is 2,

wherein under the proviso that R₆ and R₇ cannot be simultaneously hydrogen

□i) R₆, R₇ can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; or hydrogen; or

□□) R₆ and R₇ together are an substituted or unsubstituted-alkylene or alkenylene radical having from 3 up to and including 9 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, wherein said alkylene or alkenylene radical can be unsubstituted or substituted having in each case including the substituents not more than 20 C atoms, the substituents being selected from halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl or a radical -(CH₂)_y-R₁₀, in which y is 0 to 3, preferably 0 to 2, and R₁₀ is hydrogen or phenyl, which is unsubstituted or substituted by halogen, halogen lower alkyl, lower alkoxy, hydroxy, lower alkoxycarbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy or cyano, having in each case including the substituents not more than 20 C atoms, or

□) N-(aryl lower alkyl)carbamoyl, or

□) a radical of the formula -NH-S(=O)_i-R₈, in which

i is 2,

R₈ is an aliphatic, carbocyclic or heterocyclic radical; or

□) a radical of the formula -NH-C(=O)-R₉, in which

R₉ is alkoxy, phenoxy, alkynyl or aryl alkynyl which in each case is unsubstituted or substituted, the substituents being selected from the group consisting of halogen, hydroxy, phenyl, lower alkyl, lower alkoxy, lower alkoxycarbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy, tri-lower alkyl silyl, cyano or lower alkyl which is substituted by halogen, wherein such an unsubstituted or substituted R₉ radical has not more than 20 C atoms;

where, if more than one radical R₁ is present in the molecule, these can be identical or different from one another,

R₂ is hydrogen,

R₃ is lower alkyl,

R₄ is hydrogen or C₅-C₇ cycloalkyl, which is substituted by amino, hydroxy, lower alkoxy, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, aminocarbonyloxy or ureido;

R₅, independently of R₄, is as defined above for R₄, with the exception of hydrogen, or a salt thereof.

6. (once amended) A compound of the formula I according to claim 1, wherein

q is 1-2,

R₁ is -S(=O)_k-NR₆R₇, in which

k is 2,

wherein under the proviso that R₆ and R₇ cannot be simultaneously hydrogen

□i) R₆, R₇ can be identical or different from one another and represent hydrogen;

C₁-C₁₂ alkyl which is unsubstituted or substituted by hydroxy, lower alkoxy, halogen, amino, lower alkylamino, di-lower alkylamino, unsubstituted heteroaryl having not more than 10 carbon atoms and not more than 3 heteroatoms or aryl having not more than 14 carbon

atoms which is unsubstituted or substituted by halogen, lower alkyl, lower alkoxy, phenoxy, lower alkoxy carbonyl, imidazolyl, morpholinyl, pyrrolidinyl, piperidinyl, piperazinyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl or lower alkyl which is substituted by halogen;

C₃-C₁₀ cycloalkyl which is unsubstituted or substituted by hydroxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl or lower alkylcarbamoyl;

unsubstituted heteroaryl having not more than 20 carbon atoms and not more than 3 heteroatoms;

aryl having not more than 20 carbon atoms unsubstituted or substituted by halogen, lower alkyl, lower alkoxy, phenoxy, lower alkoxy carbonyl, imidazolyl, morpholinyl, pyrrolidinyl, piperidinyl, piperazinyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl or lower alkyl which is substituted by halogen; or

-) R₆ and R₇ together are ~~an substituted or unsubstituted~~ alkylene or alkenylene radical having from 3 up to and including 9 C atoms, in which 1-3 C atoms can be replaced by oxygen or nitrogen, wherein said alkylene or alkenylene radical can be unsubstituted or substituted having in each case including the substituents not more than 20 C atoms, the substituents being selected from halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl or a radical -(CH₂)_y-R₁₀, in which y is 0 to 3, preferably 0 to 2, and R₁₀ is hydrogen or phenyl, which is unsubstituted or substituted by halogen, halogen lower alkyl, lower alkoxy, hydroxy, lower alkoxy carbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy or cyano, having in each case including the substituents not more than 20 C atoms;

where, if more than one radical R₁ is present in the molecule, these can be identical or different from one another,

R₂ is hydrogen,

R₃ is lower alkyl,

R₄ is hydrogen or C₅-C₇ cycloalkyl, which is substituted by amino, hydroxy, lower alkoxy, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, aminocarbonyloxy or ureido;

R₅, independently of R₄, is as defined above for R₄, with the exception of hydrogen, or a salt thereof.

7. (once amended) A compound of the formula I according to claim 1, wherein

β) R₁ is N-(phenyl lower alkyl)carbamoyl, wherein phenyl is unsubstituted or substituted by halogen, lower alkyl, hydroxy, lower alkoxy, phenoxy, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen; or

γ) R₁ is a radical of the formula -NH-S(=O)_i-R₈, in which i is 2,

R₈ is

lower alkyl, lower alkyl which is substituted by halogen;

C₃-C₈ cycloalkyl, which is unsubstituted or substituted by halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino or carbamoyl;

unsubstituted heteroaryl having not more than 20 carbon atoms and not more than 3 heteroatoms;

phenyl which is unsubstituted or substituted by halogen, lower alkyl, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen; or

δ) R₁ is a radical of the formula -NH-C(=O)-R₉,

R₉ is

alkoxy, phenoxy, alkynyl, which is unsubstituted or substituted by tri(lower alkyl)silyl; heteroaryl alkynyl, wherein the heteroaryl moiety comprises one or two heteroatoms

selected from the group consisting of nitrogen, sulfur and oxygen, and which radical is unsubstituted or substituted by halogen, hydroxy, lower alkyl, lower alkoxy, phenyl, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen; heterocyclyl alkynyl, wherein the heterocyclyl moiety comprises one or two heteroatoms selected from the group consisting of nitrogen, sulfur and oxygen, and which radical is unsubstituted or substituted by halogen, hydroxy, lower alkyl, lower alkoxy, phenyl, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen; or phenyl alkynyl, which is unsubstituted or substituted by halogen, hydroxy, lower alkyl, lower alkoxy, phenyl, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen;

where, if more than one radical R_1 is present in the molecule, these can be identical or different from one another,

R_2 is hydrogen,

R_3 is lower alkyl,

R_4 is hydrogen or C_5 - C_7 cycloalkyl, which is substituted by amino, hydroxy, lower alkoxy, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, aminocarbonyloxy or ureido;

R_5 , independently of R_4 , is as defined above for R_4 , with the exception of hydrogen, or a salt thereof.

8. (once amended) A compound of the formula I according to claim 1, wherein

q is 1,

R_1 is

□) $-S(=O)_k-NR_6R_7$, in which

k is 2,

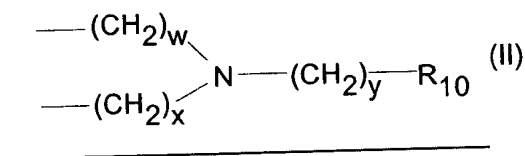
wherein under the proviso that R_6 and R_7 cannot be simultaneously hydrogen

□1) R_6 , R_7 can be identical or different from one another and represent hydrogen, C_1 - C_8 alkyl, hydroxy lower alkyl, phenyl unsubstituted or substituted by phenoxy, lower alkoxy, imidazolyl, lower alkyl, halogen, halogen lower alkyl, lower alkyloxycarbonyl, or morpholinyl; lower alkyl substituted by phenyl, halogenphenyl, naphthyl, furanyl or pyridyl; C_3 - C_6 cycloalkyl unsubstituted or substituted by hydroxy; tetrahydronaphthyl or quinoliny; or

□2) R_6 and R_7 together are an alkylene radical

□2.1) having from 4 up to and including 6 C atoms, in which 1 C atom can be replaced by oxygen; or

□2.2) a radical of the formula (II),



in which w is 2, x is 2, y is 0 or 1 and R_{10} is hydrogen or phenyl, which is unsubstituted or substituted by halogen, trifluoromethyl or lower alkoxy,

□) unsubstituted or substituted phenyl lower alkylcarbamoyl, in which case phenyl can be substituted by halogen, lower alkyl, lower alkoxy or trifluoromethyl; or

□) a radical of the formula $-NH-S(=O)_i-R_8$,

in which i is 2, and

R_8 is lower alkyl or phenyl substituted by lower alkyl or lower alkoxy; or

-) a radical of the formula -NH-C(=O)-R_9 , in which R_9 is lower alkoxy, phenoxy, phenyl lower alkynyl, in which phenyl is unsubstituted or substituted by halogen, lower alkyl or lower alkoxy; lower alkynyl or tri(lower alkyl) silyl lower alkynyl,

where, if more than one radical R_1 is present in the molecule, these can be identical or different from one another,

R_2 is hydrogen,

R_3 is lower alkyl,

R_4 is hydrogen, and

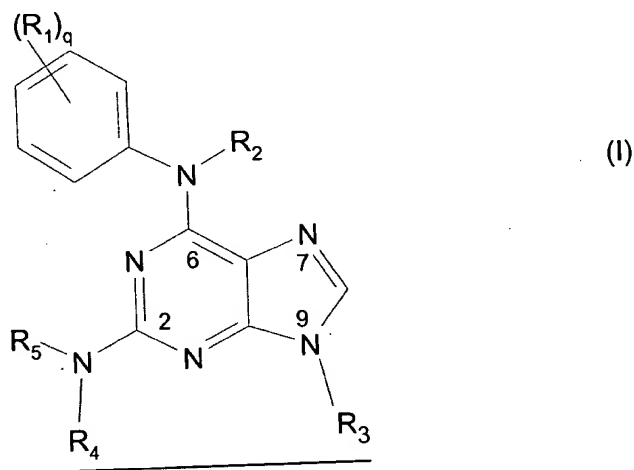
R_5 is cyclohexyl, which is substituted by amino, hydroxy or carbamoyl, or a salt thereof.

14. (once amended) A pharmaceutical composition for the treatment of tumours in warm-blooded animals, including humans, comprising an antitumourally effective dose of a compound of the formula I according to claim 1 or a pharmaceutically acceptable salt of such a compound together with a pharmaceutically acceptable carrier.

17. (twice amended) A method for ~~treatment of~~ treating tumours in warm-blooded animals, including humans, in which an antitumourally effective dose of a compound of the formula I according to claim 1 or of a pharmaceutically acceptable salt of such a compound is administered to such a warm-blooded animal suffering from a tumour disease.

18. (twice amended) A method for ~~treatment of~~ treating osteoporosis in warm-blooded animals, including humans, in which a dose, which is effective against osteoporosis, of a compound of the formula I according to claim 1 or of a pharmaceutically acceptable salt of such a compound is administered to such a warm-blooded animal suffering from osteoporosis.

19. (once amended) A process for the preparation of a ~~2-amino-6-anilino-purine derivative~~ compound of the formula I



in which q is 1-5,

R_1 is

-) $\text{-S(=O)}_k\text{-NR}_6\text{R}_7$, in which

k is 1 or 2,

wherein under the proviso that R_6 and R_7 cannot be simultaneously hydrogen

- i) R_6 , R_7 can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; hydrogen or lower aliphatic acyl; or
-) R_6 and R_7 together are an substituted or unsubstituted alkylene or alkenylene radical having from 3 up to and including 9 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, wherein said alkylene or alkenylene radical can be unsubstituted or substituted by halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl or a radical $-(CH_2)_y-R_{10}$, in which y is 0 to 3, preferably 0 to 2, and R_{10} is hydrogen or phenyl, which is unsubstituted or substituted by halogen, halogen lower alkyl, lower alkoxy, hydroxy, lower alkoxycarbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy or cyano, or
-) N-(aryl lower alkyl)carbamoyl, or
-) a radical of the formula $-NH-S(=O)_i-R_8$, in which i is 1 or 2,
 R_8 is an aliphatic, carbocyclic or heterocyclic radical; or
-) a radical of the formula $-NH-C(=O)-R_9$, in which
 R_9 is alkoxy, aryloxy, alkenyl, alkynyl, heterocyclyl alkynyl, aryl alkynyl, heteroaryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted, the substituents being selected from the group consisting of halogen, hydroxy, phenyl, lower alkyl, lower alkoxy, lower alkoxycarbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy, tri-lower alkyl silyl, cyano or lower alkyl which is substituted by halogen, wherein such an unsubstituted or substituted R_9 radical has not more than 20 C atoms;

where, if more than one radical R_1 is present in the molecule, these can be identical or different from one another,

R_2 is hydrogen, carbamoyl or N-lower alkyl-carbamoyl,

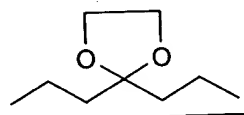
R_3 is a lower aliphatic radical lower alkyl, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower alkylamino or N,N-di-lower alkylamino and

a) R_4 is hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, a substituted aliphatic hydrocarbon radical having not more than 29 C atoms, a substituted carbocyclic or carbocyclic-aliphatic radical having not more than 29 C atoms, or a heterocyclic or heterocyclic-aliphatic radical having not more than 20 C atoms and not more than 9 heteroatoms, or a substituted hydrocarbon radical having not more than 29 C atoms wherein the substituents are selected from halogen, amino, lower alkylamino, □-amino, lower alkylamino, lower alkanoylamino, benzoylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, phenyloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N-[□-amino-lower alkyl]-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxycarbonyl, phenyloxycarbonyl, benzyloxycarbonyl, hydroxylaminocarbonyl, carbamoyl, amidino, cyano, hydroxyl, lower alkoxy, phenyloxy, aminocarbonyl-oxy, oxo, aminosulfonyl, lower alkylsulfonyl-amino, glycyllamino, alanyl-amino, phenylalanyl-amino, prolyl-amino, valyl-amino, leucyl-amino, isoleucyl-amino, seryl-amino, threonyl-amino, cysteinyl-amino, methionyl-amino, tyrosyl-amino, tryptophanyl-amino, arginyl-amino, histidyl-amino, lysyl-amino, glutamyl-amino, glutaminyl-amino, asparagyl-amino, asparaginyl-amino and phenylglycyl-amino; and

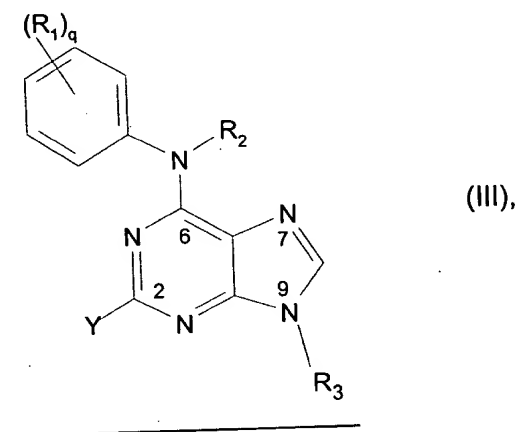
R_5 , independently of R_4 , is as defined above for R_4 , with the exception of hydrogen, or

b) R_4 and R_5 together are a substituted or unsubstituted alkylene or alkenylene radical having in each case not more than 15 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, 1,2-ethylene, propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl, 3-(3-amino-propionyl)-3-aza-pentane-1,5-diyl, 2-amino-butane-1,4-diyl, 1-aminomethyl-butane-1,4-diyl, 1-

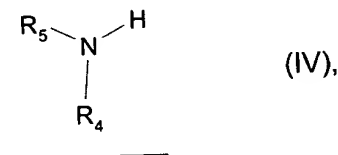
hydroxymethyl-butane-1,4-diyl, 3-hydroxy-pentane-1,5-diyl, 1-hydroxy-hexane-1,5-diyl, 3-(2-amino-ethyl)-pentane-1,5-diyl, 3-aza-pentane-1,5-diyl (-CH₂-CH₂-NH-CH₂-CH₂-), 3-aza-2,4-dimethyl-pentane-1,5-diyl (-CH₂-CH[CH₃]-NH-CH[CH₃]-CH₂-), 3-amino-3-aza-pentane-1,5-diyl (-CH₂-CH₂-N[NH₂]-CH₂-CH₂-), 1-aza-pentane-1,5-diyl, 1-aza-1-toluy laminocarbonyl-pentane-1,5-diyl, 1-aza-1-(methylamino-thiocarbonyl)-pentane-1,5-diyl, 1-aza-1-(tert-butylamino-carbonyl)-pentane-1,5-diyl, 1-aza-1-(cyclohexylamino-carbonyl)-pentane-1,5-diyl, 3-aza-1-hydroxy-heptane-3,7-diyl, 3-aza-1-cyano-heptane-3,7-diyl, 1-amino-3-aza-heptane-3,7-diyl, 3-(2-amino-ethyl)-3-aza-pentane-1,5-diyl (-CH₂-CH₂-N[CH₂-CH₂-NH₂]-CH₂-CH₂-), 1-carbamoyl-butane-1,4-diyl, 2-formylamino-pentane-1,4-diyl, 2-aza-butadiene-1,4-diyl (-CH=CH-N=CH-), 2-aza-3-hydroxymethyl-butadiene-1,4-diyl (-CH=C[CH₂OH]-N=CH-), 2-Aza-1-hydroxy-1-(4-methoxy-phenyl-amino)-heptane-2,7-diyl {-(CH₂)₄-N[-CH(OH)-NH-C₆H₄-OCH₃]-} or a radical of the formula



in which the two terminal bonds of the alkylene chain are free valencies,
or a salt thereof, with the exception of 6-(4-benzyloxycarbonylamino-phenyl-amino)-9-ethyl-2-(2-hydroxy-ethyl-amino)-9H-purine or a salt thereof, which comprises
a) for the manufacture of a compound of formula I, wherein R₁ is -SO_kNR₆R₇, reacting a compound of the formula III

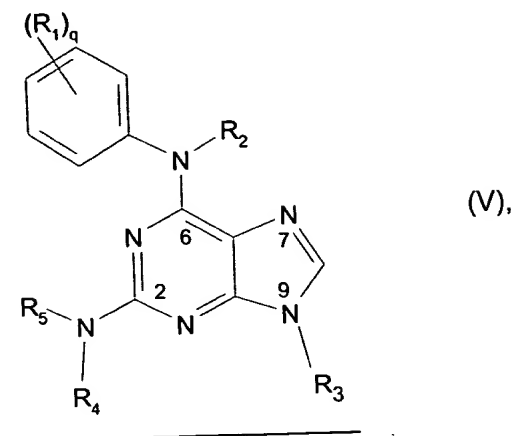


in which Y is a suitable leaving group, R₁ is -SO_kNR₆R₇ and the other substituents and symbols are as defined above for compounds of the formula I, free functional groups present in this compound, if necessary, being protected by easily detachable protective groups, with an amine of the formula IV



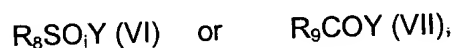
in which the substituents are as defined above for compounds of the formula I, free functional groups present in this compound, if necessary, being protected by easily detachable protective groups or, in accordance with the principle of latent functionality, being in a form which can be converted into the functional groups, and detaching the protective groups present and, if necessary, converting functional groups into the final form according to formula I, or

b) for the manufacture of a compound of formula I, wherein R_1 is N-(aryl lower alkyl) carbamoyl, reacting a compound of the formula V



in which R_1 is $-CO_2H$ and the other substituents and symbols are as defined above for compounds of the formula I, free functional groups present in this compound, if necessary, being protected by easily detachable protective groups, with an aryl lower alkyl amine, free functional groups present in the aryl moiety, if necessary, being protected by easily detachable protective groups, and detaching the protective groups present, or

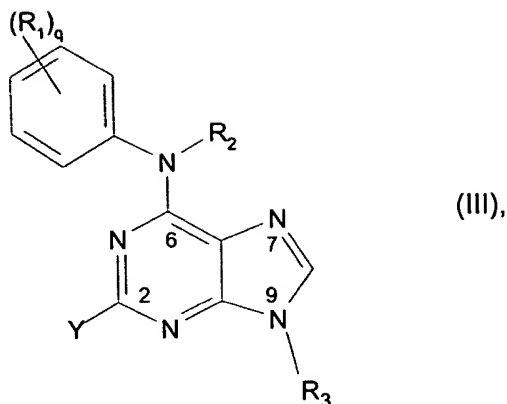
c) for the manufacture of a compound of formula I, wherein R_1 is a radical of the formula $-NH-S(=O)_i-R_8$ or of the formula $-NH-C(=O)-R_9$, reacting a compound of the formula V in which R_1 is $-NH_2$ and the other substituents and symbols are as defined above for compounds of the formula I, free functional groups present in this compound, if necessary, being protected by easily detachable protective groups, with a compound of the formula VI or VII,



in which Y is a suitable leaving group and

R_8 and R_9 are as defined above for compounds of the formula I, free functional groups present in R_8 or R_9 , if necessary, being protected by easily detachable protective groups, and detaching the protective groups present, and, after carrying out process a), b) or c), if necessary for the preparation of a salt, converting a resulting free compound of the formula I into a salt or, if necessary for preparation of a free compound, converting a resulting salt of a compound of the formula I into the free compound.

20. (once amended) A compound of the formula III



in which

q is 1-5

-Y is a suitable leaving group,

-R₁ is -SO₂NR₆R₇ and the other substituents and symbols are as defined in claim 1 for compounds of the formula I;

R₂ is hydrogen, carbamoyl or N-lower alkyl-carbamoyl.

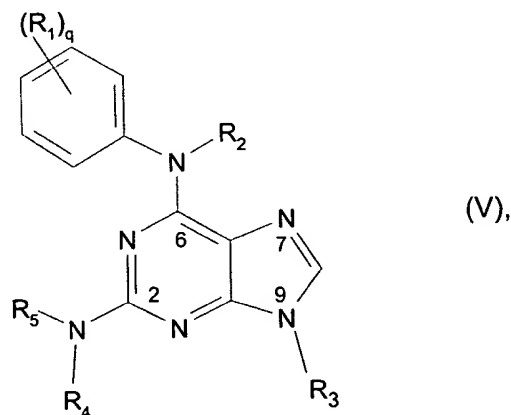
R₃ is lower alkyl, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower alkylamino or N,N-di-lower alkylamino;

R₆, R₇ can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; hydrogen or lower aliphatic acyl; or

R₆ and R₇ together are an alkylene or alkenylene radical having from 3 up to and including 9 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, wherein said alkylene or alkenylene radical can be unsubstituted or substituted by halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl or a radical -(CH₂)_y-R₁₀, in which y is 0 to 3, preferably 0 to 2, and R₁₀ is hydrogen or phenyl, which is unsubstituted or substituted by halogen, halogen lower alkyl, lower alkoxy, hydroxy, lower alkoxy-carbonyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, carboxyl, methylenedioxy or cyano,

it being possible for free functional groups present therein to be protected by easily detachable protective groups, or a salt thereof.

21. (once amended) A compound of the formula V



in which

q is 1-5

-R₁ is CO₂H and the other substituents and symbols are as defined in claim 1 for compounds of the formula I,

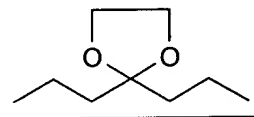
-R₂ is hydrogen, carbamoyl or N-lower alkyl-carbamoyl,

R₃ is lower alkyl, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower alkylamino or N,N-di-lower alkylamino;

R₄ is hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, a carbocyclic or carbocyclic-aliphatic radical having not more than 29 C atoms, a heterocyclic or heterocyclic-aliphatic radical having not more than 20 C atoms and not more than 9 heteroatoms, or a substituted hydrocarbon radical having not more than 29 C atoms wherein the substituents are selected from halogen, amino, lower alkylamino, ω-amino-lower alkylamino, lower alkanoylamino, benzoylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, phenyloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N-[ω-amino-lower alkyl]-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, lower alkylthio, thiocarbamoyl, thioureido, N-lower alkyl-thioureido, N-phenyl-thioureido, guanidino, N-lower alkyl-guanidino, carboxyl, lower alkoxycarbonyl, phenyloxycarbonyl, benzyloxycarbonyl, hydroxylaminocarbonyl, carbamoyl, amidino, cyano, hydroxyl, lower alkoxy, phenoxy, aminocarbonyl-oxy, oxo, aminosulfonyl, lower alkylsulfonyl-amino, glycyllamino, alanyllamino, phenylalanyllamino, prolyllamino, valyllamino, leucylamino, isoleucylamino, serylamino, threonyllamino, cysteinylamino, methionylamino, tyrosylamino, tryptophanyllamino, arginyllamino, histidylamino, lysylamino, glutamylamino, glutaminylamino, asparagylamino, asparaginyllamino and phenylglycyllamino; and

R₅, independently of R₄, is as defined above for R₄, with the exception of hydrogen, or

R₄ and R₅ together are 1,2-ethylene, propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl, 3-(3-amino-propionyl)-3-aza-pentane-1,5-diyl, 2-amino-butane-1,4-diyl, 1-aminomethyl-butane-1,4-diyl, 1-hydroxymethyl-butane-1,4-diyl, 3-hydroxy-pentane-1,5-diyl, 1-hydroxy-hexane-1,5-diyl, 3-(2-amino-ethyl)-pentane-1,5-diyl, 3-aza-pentane-1,5-diyl (-CH₂-CH₂-NH-CH₂-CH₂-), 3-aza-2,4-dimethyl-pentane-1,5-diyl (-CH₂-CH[CH₃]-NH-CH[CH₃]-CH₂-), 3-amino-3-aza-pentane-1,5-diyl (-CH₂-CH₂-N[NH₂]-CH₂-CH₂-), 1-aza-pentane-1,5-diyl, 1-aza-1-toluylaminocarbonyl-pentane-1,5-diyl, 1-aza-1-(methylamino-thiocarbonyl)-pentane-1,5-diyl, 1-aza-1-(tert-butylamino-carbonyl)-pentane-1,5-diyl, 1-aza-1-(cyclohexylamino-carbonyl)-pentane-1,5-diyl, 3-aza-1-hydroxy-heptane-3,7-diyl, 3-aza-1-cyano-heptane-3,7-diyl, 1-amino-3-aza-heptane-3,7-diyl, 3-(2-amino-ethyl)-3-aza-pentane-1,5-diyl (-CH₂-CH₂-N[-CH₂-CH₂-NH₂]-CH₂-CH₂-), 1-carbamoyl-butane-1,4-diyl, 2-formylamino-pentane-1,4-diyl, 2-aza-butadiene-1,4-diyl (-CH=CH-N=CH-), 2-aza-3-hydroxymethyl-butadiene-1,4-diyl (-CH=C[CH₂OH]-N=CH-), 2-Aza-1-hydroxy-1-(4-methoxy-phenyl-amino)-heptane-2,7-diyl {-(CH₂)₄-N[-CH(OH)-NH-C₆H₄-OCH₃]-} or a radical of the formula



in which the two terminal bonds of the alkylene chain are free valencies, and free functional groups present therein being protected, if necessary, by easily detachable protective groups, or a salt thereof.